

pH-sensitive hemolytic property and drug release behavior of polyapartamide derivative nano-aggregates grafted with 1-(3-aminopropyl)imidazole

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A series of pH-sensitive graft copolymers was prepared by a successive graft reaction of octacyclamine, O-(2-aminoethyl)-O'-methylpolyethylene glycol, and 1-(3-aminopropyl)imidazole on polysuccinimide. The prepared polymers self-assembled like micelle, whose size is from 80nm to 200nm. The polymer aggregates showed sharp UV transmittance change at around pH 7, and had high buffering capacity between pH 5 and 7. In polymers with low DS of C18, an aggregation was observed at pH above 7, while the dissociation of aggregates happened at pH below 7 by ionization and deionization of imidazole groups. In polymer with high DS of C18, stable polymer aggregates were formed in pH range from 4 to 9. The polymers were little hemolytic at pH above 7.0, but they displayed high hemolysis % at pH below 5.5 by hemolytic ability of grafted 1-(3-aminopropyl)imidazole. A paclitaxel, one of best anti-neoplastic drugs, was loaded into graft copolymers solution, and PTX release profile was investigated as a function of pH by HPLC method. These pH-sensitive polymers are potential candidates for intracellular or tumor targeting drug deliveries which require a triggered system at very small pH change.